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* * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

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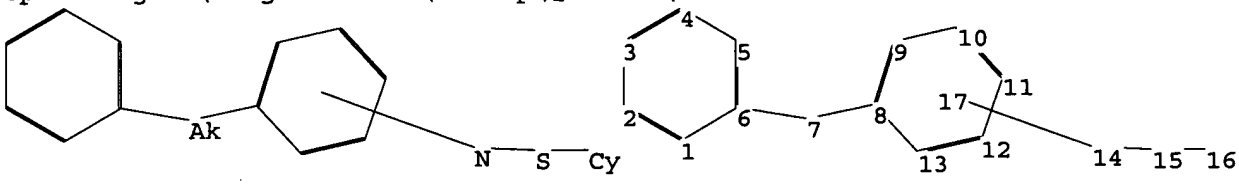


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7  14  15  16
ring nodes :
1  2  3  4  5  6  8  9  10  11  12  13
chain bonds :
6-7  7-8  14-15  15-16
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  8-9  8-13  9-10  10-11  11-12  12-13
exact/norm bonds :
6-7  7-8  14-15  15-16
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  8-9  8-13  9-10  10-11  11-12  12-13
isolated ring systems :
containing 8 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:CLASS  15:CLASS  16:Atom  17:CLASS



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=> d l1
L1 HAS NO ANSWERS
L1              STR
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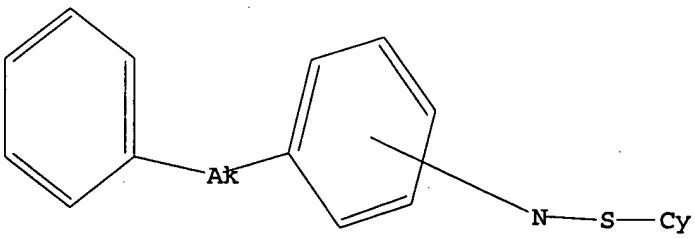

Structure attributes must be viewed using STN Express query preparation.

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         864830 METABOL?
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=> s l6 and 5
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L7       313509 L6 AND 5

=> s l6 and l5
L8           12 L6 AND L5

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L8 ANSWER 1 OF 12 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 128:308308 CA
TITLE: The preparation and use of ortho-sulfonamido aryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors
INVENTOR(S): Levin, Jeremy Ian; Du Mila, T.; Venkatesan, Aranapakam
Mudumbai; Nelson, Frances Christy; Zask, Arie; Gu, Yansong
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9816503	A2	19980423	WO 1997-US18280	19971008
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RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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AU 9851458	A1	19980511	AU 1998-51458	19971008
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AU 731737	B2	20010405		
EP 938471	A1	19990901	EP 1997-946246	19971008
EP 938471	B1	20011212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9712525	A	19991019	BR 1997-12525	19971008
CN 1240429	A	20000105	CN 1997-180613	19971008
JP 2001504809	T2	20010410	JP 1998-518448	19971008
AT 210637	E	20011215	AT 1997-946246	19971008
ES 2166102	T3	20020401	ES 1997-946246	19971008
PT 938471	T	20020531	PT 1997-946246	19971008
ZA 9709233	A	19990415	ZA 1997-9233	19971015
TW 410220	B	20001101	TW 1997-86114187	19971015
KR 2000049196	A	20000725	KR 1999-703294	19990415
HK 1021178	A1	20020404	HK 2000-100090	20000106
PRIORITY APPLN. INFO.:			US 1996-732631 A	19961016
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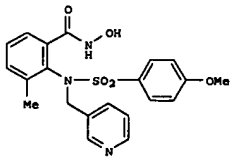
OTHER SOURCE(S): MARPAT 128:308308
GI

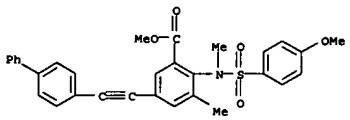
L8 ANSWER 1 OF 12 CA COPYRIGHT 2006 ACS on STN (Continued)



II

AB The invention relates to novel, low mol. weight, non-peptide inhibitors of
matrix metalloproteinases (e.g. gelatinases, stromelysins and collagenases) and TNF-α converting enzyme (TACE, tumor necrosis factor-α converting enzyme). The compds. are useful for the treatment of diseases in which these enzymes are implicated such as arthritis, tumor growth and metastasis, angiogenesis, tissue ulceration, abnormal wound healing, periodontal disease, bone disease, proteinuria, aneurysmal aortic disease, degenerative cartilage loss following traumatic
joint injury, demyelinating diseases of the nervous system, graft rejection, cachexia, anorexia, **inflammation**, fever, insulin resistance, septic shock, congestive heart failure, **inflammatory** disease of the central nervous system, **inflammatory** bowel disease, HIV infection, age related macular degeneration, diabetic retinopathy, proliferative vitreoretinopathy, retinopathy of prematurity, ocular **inflammation**, keratoconus, Sjogren's syndrome, myopia, ocular tumors, and ocular angiogenesis/neovascularization. The invention compds. are represented by the formula ZSO2N(CH2R7)ACONHOH [I; A = (un)substituted Ph or naphthyl; Z = (un)substituted aryl, heteroaryl, or benzo-fused heteroaryl; R7 = H, (un)substituted alk(en/yn)yl, Ph, naphthyl, 5- or 6-membered heteroaryl, cycloalkyl, or cycloheteroalkyl; or
R7CH2NA forms a non-aromatic 1,2-benzo-fused 7- to 10-membered heterocyclic
ring with an optional addition benzo fusion; where the hydroxamic acid moiety
and the sulfonamido moiety are bonded to adjacent carbons on group A], and
include pharmaceutically acceptable salts, optical isomers, and diastereomers. Prepns. of over 400 compds., including I and their intermediates, are given. For instance, 2-[(4-methoxybenzenesulfonyl)amino]-3-methylbenzoic acid Me ester (preparation given)
was N-alkylated by 3-picolyl chloride-HCl (83%), followed by hydrolysis of
the ester with LiOH in aqueous THF (100%), activation with oxalyl chloride,
and hydroxamidation with NH2OH.HCl (51%), to give title compound II. At 50

L8 ANSWER 1 OF 12 CA COPYRIGHT 2006 ACS on STN (Continued)
mg/kg/day in rats with cartilage implants, II gave 44.6% inhibition of cartilage wt. loss, and 51.2% inhibition of cartilage collagen loss.
IT **206550-24-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of ortho-sulfonamido aryl hydroxamic acids as
matrix metalloproteinase and TACE inhibitors)
RN 206550-24-5 CA
CN Benzoic acid, 5-([1,1'-biphenyl]-4-ylethynyl)-2-[[(4-methoxyphenyl)sulfonyl]methylamino]-3-methyl-, methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 2 OF 12 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 127:220581 CA
TITLE: Indanyloxy-substituted pyridine derivatives and analogs, useful as phosphodiesterase inhibitors
INVENTOR(S): Warrellow, Graham John; Brown, Julien Alistair
PATENT ASSIGNEE(S): Celltech Therapeutics Limited, UK
SOURCE: Brit. UK Pat. Appl., 37 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2308366	A1	19970625	GB 1996-26448	19961220
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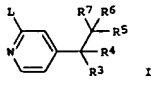
GB 2308366	B2	19990825		
US 5891896	A	19990406	US 1996-769466	19961220
PRIORITY APPLN. INFO.:			GB 1995-26243 A	19951221

OTHER SOURCE(S): MARPAT 127:220581
GI



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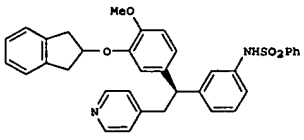
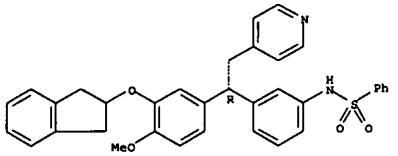


II

AB Title compds. I [W = N or (un)substituted CH; L = XR1; R1 = (un)substituted carbo- or heterobicyclic system; R3 = H, F, OH, (un)substituted alkyl; R4 = H, (un)substituted aryl or aralkyl, etc.; R5 = (un)substituted aryl or aralkyl; R6 = H, F, (un)substituted alkyl; R7 = H, F, OH or ethers, (un)substituted alkyl, etc.] and their salts, solvates, hydrates, prodrugs, and N-oxides are disclosed. The compds. are strong and selective inhibitors of phosphodiesterase type IV (PDE IV), with improved **metabolic** stability, and are useful in the prophylaxis and treatment of diseases such as asthma. For instance, Mitsunobu etherification of 3-[1-(R)-(3-hydroxy-4-methoxyphenyl)-2-(4-pyridyl)ethyl]aniline with 2-indanol using DEAD and PPh3 (63%), and sulfonamidation of the product with PhSO2Cl (22%), gave title compound II.HCl. In an assay for inhibition of human recombinant PDE IVA in vitro,

L8 ANSWER 2 OF 12 CA COPYRIGHT 2006 ACS on STN (Continued)
II.HCl had an IC50 of 2.0 nM, with little or no activity against PDE I, II, III, or V at concns. up to 100 μM. II.HCl was substantially unmetabolized (>80%) after 3 h in a rat hepatocyte model, vs. extensive metab. of similar known compds. under the same conditions.
IT 194998-66-8P, (R)-4-[2-[3-(2-Indanyloxy)-4-methoxyphenyl]-2-[3-(benzenesulfonylamino)phenyl]ethyl]pyridine hydrochloride
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of indanyloxy-substituted pyridine derivs. as PDE IV inhibitors)
RN 194998-66-8 CA
CN Benzenesulfonamide, N-[3-[1-[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl]-2-(4-pyridinyl)ethyl]phenyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L8 ANSWER 3 OF 12 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 125:86305 CA
TITLE: Ortho-substituted aromatic ether compounds and their use in pharmaceutical compositions for pain relief
INVENTOR(S): Breault, Gloria Anne; Oldfield, John; Tucker, Howard; Warner, Peter
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9606822 <--	A1	19960307	WO 1995-GB2030	19950829
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9533519	A1	19960322	AU 1995-33519	19950829
EP 778821 <--	A1	19970618	EP 1995-929969	19950829
EP 778821	B1	19991020		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10504836 <--	T2	19980512	JP 1995-508556	19950829
AT 185791	E	19991115	AT 1995-929969	19950829
US 5965741	A	19991012	US 1997-793023	19970221
PRIORITY APPLN. INFO.:			GB 1994-17532 A	19940831
			WO 1995-GB2030 W	19950829

OTHER SOURCE(S): MARPAT 125:86305
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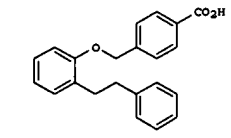
L8 ANSWER 3 OF 12 CA COPYRIGHT 2006 ACS on STN (Continued)



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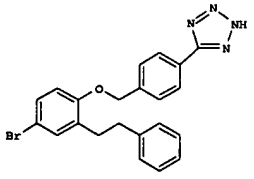
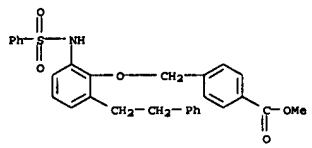


II

AB The invention relates to compds. of formula D-X-A-O-CH(R3)-B-R' [I; A = (un)substituted ring system; B = (un)substituted 5- or 6-membered heteroaryl or Ph; D = (un)substituted ring system; X = (CHR4)n or (CHR4)pCR4:CR4(CHR4)q wherein n = 1-3 and p and q both = 0, or one of p and q = 1 and the other = 0; R1 = variety of substituents, positioned on ring B in either a 1,3 or 1,4 relationship with the OCH(R3) group for 6-membered rings, or in a 1,3 relationship for 5-membered rings; R3, R4 = H or C1-4 alkyl] as well as their N-oxides, S-oxides, pharmaceutically acceptable salts, and in vivo-hydrolyzable esters and amides. The invention also relates to processes for preparation of I, intermediates in their preparation, use of I as therapeutic agents, and pharmaceutical compns. containing them. For example, the representative compds. II and III were prepared Benzenoid compound II was prepared via hydrolysis of its Me ester (88%), while tetrazole derivative III was prepared via cycloaddn. of HN3 with the corresponding nitrile (78%). I are analgesics which may also (no data) possess antiinflammatory, antipyretic, and antidiarrheal properties.
In general, I had pA2 > 5.3 for inhibiting PGE2-induced contractions of isolated guinea pig ileum, and had oral ED50 of 0.01-100 mg/kg in the phenylbenzoquinone/AcOH induced writhing test in mice. No overt toxicity was seen in the writhing test at several multiples of the min. ED.
IT 178546-59-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of ortho-substituted aromatic ethers as analgesics)
RN 178546-59-3 CA
CN Benzoic acid, [illegible]nylethyl)-6-[(phenylsulfonyl)amino]phenoxy]methy

L8 ANSWER 3 OF 12 CA COPYRIGHT 2006 ACS on STN (Continued)
1]-, methyl ester (9CI) (CA INDEX NAME)



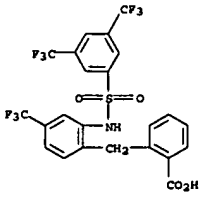
L8 ANSWER 4 OF 12 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 123:275437 CA
TITLE: SB 203347, an inhibitor of 14 kDa phospholipase A2, alters human neutrophil arachidonic acid release and **metabolism** and prolongs survival in murine endotoxin shock
AUTHOR(S): Marshall, L. A.; Hall, R. H.; Winkler, J. D.; Badger, A.; Bolognese, B.; Roshak, A.; Flamberg, P. L.; Sung, C.-M.; Chabot-Fletcher, M.; et al.
CORPORATE SOURCE: Dep. Inflammation Respiratory Pharmacol., SmithKline Beecham Pharm., King of Prussia, PA, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1995), 274(3), 1254-62
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Phospholipase A2 (PLA2) catalyzes the hydrolysis of the sn-2 fatty acyl group [predominately arachidonic acid (AA)] of membrane phospholipids, the products of which are further **metabolized**, forming a variety of eicosanoids and/or platelet-activating factor. PLA2 activity is significantly enhanced during **inflammation** and therefore offers an intriguing target in designing anti-**inflammatory** drugs. SB 203347 (2-[2-[3,5-bis(trifluoromethyl) sulfonamido]-4-trifluoromethylphenoxy] benzoic acid) potently inhibits rh type II 14 kDa PLA2 (IC50 = 0.5 μM) but exhibits a 40-fold weaker inhibition of 85 kDa PLA2 (IC50 = 20 μM) using [3H]-AA E. coli as substrate. A specific interaction with rh type II 14 kDa PLA2 was confirmed both by observing the pH dependence of its IC50 and by demonstrating linear inhibition in a "scooting" kinetic model using radiolabeled phospholipid reporter substrate in a 1,2-dimyristoyl phosphatidylmethanol vesicle. Before evaluating the effect of SB 203347 on AA metabolism in intact human neutrophil, we showed that it fully inhibits PLA2 activity in acid extracted intact human neutrophil homogenate (IC50 = 4.7 μM). SB 203347 inhibited A23187-induced intact human neutrophil AA mass release in a concentration-dependent manner (IC50 = 1 μM), which coincided with redns. in the biosynthesis of platelet-activating factor (IC50 = 1.5 μM) and leukotriene B4 (IC50 = 2.3 μM). Finally, SB 203347 prolonged survival in a mouse model of endotoxin shock delivered i.p. Taken together, the data support a role of cellular 14 kDa PLA2 in the formation of AA-derived pro-**inflammatory** lipid mediator. Further, SB 203347 proved efficacious in prolonging the survival of mice injected with endotoxin, which indicates the participation of 14 kDa PLA2 in an in vivo model where lipid mediators have been implicated.

IT **169527-42-8**, SB 203347
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(SB 203347 as phospholipase A2 inhibitor effect on human neutrophil

L8 ANSWER 4 OF 12 CA COPYRIGHT 2006 ACS on STN (Continued)
arachidonic acid release and metab. in endotoxic shock)

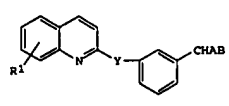
RN 169527-42-8 CA
CN Benzoic acid, 2-[[2-[[[3,5-bis(trifluoromethyl)phenyl]sulfonyl]amino]-4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 5 OF 12 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 115:256016 CA
TITLE: Preparation of diarylstyrylquinoline diacids as leukotriene antagonists
INVENTOR(S): Young, Robert N.; Gauthier, Jacques Yves; Zamboni, Robert; Belley, Michel L.
PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Cote d'Ivoire
SOURCE: Eur. Pat. Appl., 144 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 399818	A1	19901128	EP 1990-305640	19900523
EP 399818	B1	19950816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5104882	A	19920414	US 1990-527236	19900522
CA 2017376	AA	19901124	CA 1990-2017376	19900523
CA 2017376	C	20000718		
NO 9002301	A	19901126	NO 1990-2301	19900523
AU 9055811	A1	19901213	AU 1990-55811	19900523
ZA 9003983	A	19910327	ZA 1990-3983	19900523
JP 03072459	A2	19910327	JP 1990-132754	19900524
JP 07103107	B4	19951108		
US 5204358	A	19930420	US 1992-818598	19920109
PRIORITY APPLN. INFO.:			US 1989-356478 A	19890524
			US 1987-125050 B2	19871125
			US 1988-275160 B2	19881122
			US 1990-527236 A3	19900522

OTHER SOURCE(S): MARPAT 115:256016
GI



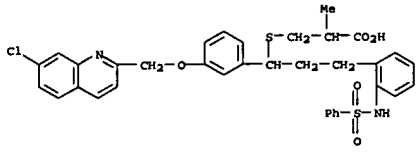
I

AB Title compds. I [R1 = 7-Cl, 7-MeO, 6-F3C, 7-F3C, 6-MeSO2, H, 6,7-Cl2; Y = CH:CH, CH2CH2, CH2O, CHMeCH2; A = HO2C(CH2)2S, Me2NCO(CH2)2S, 3-(HO2C)C6H4S, Me3CNHCO(CH2)2S, 4-carboxy-2-pyridyl, [(1-

L8 ANSWER 5 OF 12 CA COPYRIGHT 2006 ACS on STN (Continued)
adamantylamino)carbonylethyl]thio, 1-tetrazol-5-ylmethylthio, etc.; B = 2-(HO2C)C6H4CH2CH2, 3-(HO2C)C6H4, 5-carboxy-2-thiophenyl, HO2CCH2CHMe(CH2)2, 6-carboxy-2-pyridyl, 2-(Me3CNHCO)C6H4S, 3-[(1-tetrazol-5-yl)methyl]phenyl, etc.] and their salts, useful as inhibitors of leukotriene biosynthesis, antiasthmatic, antiallergic, antiinflammatory, and cytoprotective agents (no data, assays described), are prepd. I may also be used to treat erosive gastritis, **inflammatory** bowel disease, prevention of SRA-release (no data). To a suspension of [(7-chloroquinolin-2-yl)methyl]triphenylphosphonium bromide in THF was added BuLi, the reaction mixt. was stirred at -78° and Me 2-[3-[2-(methoxycarbonyl)ethylthio]-3-(3-formylphenyl)propyl]benzoate [prepn. from 3-(BrCH2)C6H4CN given] added, the mixt. warmed to room temp. to give I [R1 = 7-Cl; Y = CH:CH; A = HO2C(CH2)2S; B = 2-(HO2C)C6H4CH2CH2] (II) as the di-Me ester, which in THF and MeOH was sapond. to give II.2Na salt. A capsule, injectable suspension and tablet formulations comprising I are given. Pharmaceutical compn. of I may comprise an addnl. active ingredient such as nonsteroidal antiinflammatory drug, peripheral analgesic, cyclooxygenase inhibitor, etc.

IT **133770-47-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as leukotriene antagonist)

RN 133770-47-5 CA
CN Propanoic acid, 3-[[1-[3-[(7-chloro-2-quinolinyl)methoxy]phenyl]-3-[2-[(phenylsulfonyl)amino]phenyl]propyl]thio]-2-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 6 OF 12 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 108:131304 CA
TITLE: 2-Arylsulfonamidobenzophenones and -acetophenones and their oximes
INVENTOR(S): Schewe, Tankred; Rapoport, Samuel Mitja; Beger, Joerg; Kuehn, Hartmut; Binte, Hans Joachim; Slapke, Juergen
PATENT ASSIGNEE(S): VEB Fahlberg-List, Ger. Dem. Rep.
SOURCE: Ger. Offen., 44 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3544409	A1	19861016	DE 1985-3544409	19851216
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DD 251126	A1	19871104	DD 1984-271462	19841221
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CH 670389	A	19890615	CH 1985-5505	19851223
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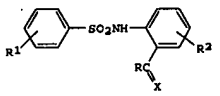
PRIORITY APPLN. INFO.:			DD 1984-271462	A2 19841221

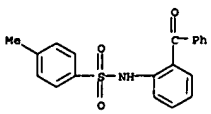
OTHER SOURCE(S): CASREACT 108:131304; MARPAT 108:131304
GI



I

AB The title compds. (I; R = Me, Ph, p-substituted Ph; R1 = H, alkyl, alkoxy, amino, acylamino; R2 = H, halo, NO2, amino, acylamino; X = O, oximino) were prepared as lipoxygenase and cyclooxygenase inhibitors. Thus, 0.02 mol 2-(p-methoxybenzenesulfonamido)acetophenone in EtOH was treated with 0.044 mol NH2OH.HCl in pyridine and the mixture was refluxed for 3 h to give 90% I (R = Me, R1 = 4-MeO, X = NOH, R2 = H) which at 50 μM showed 80% inhibition of arachidonic acid-induced contractions in guinea pigs vs. 30% for benoxaprofen.
IT 1859-71-8, 2-(p-Toluenesulfonamido)benzophenone
RL: RCT (Reactant); RACT (Reactant or reagent)
(oximation of)
RN 1859-71-8 CA
CN Benzenesulfonamide, N-(2-benzoylphenyl)-4-methyl- (9CI) (CA INDEX NAME)

L8 ANSWER 6 OF 12 CA COPYRIGHT 2006 ACS on STN (Continued)

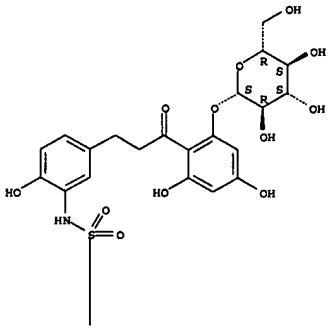


L8 ANSWER 7 OF 12 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 98:67231 CA
TITLE: Synthesis of phlorizin derivatives and their inhibitory effect on the renal sodium/D-glucose cotransport system
AUTHOR(S): Lin, J. T.; Hahn, K. D.; Kinne, R.
CORPORATE SOURCE: Albert Einstein Coll. Med., Yeshiva Univ., Bronx, NY, 10461, USA
SOURCE: Biochimica et Biophysica Acta, Biomembranes (1982), 693(2), 379-88
CODEN: BBBMBS; ISSN: 0005-2736
DOCUMENT TYPE: Journal
LANGUAGE: English
AB To characterize further the Na+/D-glucose contransport system in renal brush border membranes, phlorizin, a potent inhibitor of D-glucose transport, was chemical modified without affecting the D-glucose moiety or changing the side groups that are essential for the binding of phlorizin to the Na+/D-glucose cotransport system. One series of chemical modifications involved the preparation of 3-nitrophlorizin and the subsequent catalytic reduction of the nitro compound to 3-aminophlorizin. From 3-aminophlorizin, 3-bromoacetamido-, 3-dansyl- and 3-azidophlorizin were synthesized. In another approach, 3'-mercuryphlorizin was obtained by reaction of phlorizin with Hg(II) acetate. The phlorizin derivs. inhibit Na+-dependent but not Na+-independent D-glucose uptake by hog renal brush border membrane vesicles in the following order of potency: 3'-mercuryphlorizin = phlorizin > 3-aminophlorizin > 3-bromoacetamidophlorizin > 3-azidophlorizin > 3-nitrophlorizin > 3-dansylphlorizin. 3-Bromoacetamidophlorizin, a potential affinity label, also inhibits Na+-dependent but not Na+-independent phlorizin binding to brush border membranes. In addition, Na+-dependent phosphate and Na+-dependent alanine uptake are not affected by 3-bromoacetamidophlorizin. Thus, specific modifications of the phlorizin mol. at the A-ring or B-ring are possible that yield phlorizin derivs. with a high affinity and high specificity for the renal Na+/D-glucose cotransport system. Such compds. should be useful in future studies using affinity labeling (3-bromoacetamido- and 3-azidophlorizin) or fluorescent probes (3-dansylphlorizin).
IT 84426-05-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and glucose-sodium cotransport by kidney brush border membranes
inhibition by)
RN 84426-05-1 CA
CN 1-Naphthalenesulfonamide, 5-(dimethylamino)-N-[5-[3-[2-(β-D-glucopyranosyloxy)-4,6-dihydroxyphenyl]-3-oxopropyl]-2-hydroxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 7 OF 12 CA COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



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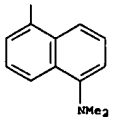
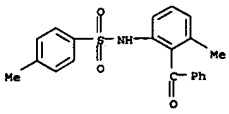
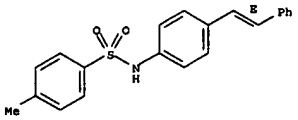


L8 ANSWER 8 OF 12 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 79:142798 CA
TITLE: Synthesis and antiinflammatory activity of 1-alkyl-4-aryl-2(1H)-quinazolines and quinazolinethiones
AUTHOR(S): Coombs, R. V.; Danna, R. P.; Denzer, M.; Hardtmann, G.
E.; Huegi, B.; Koletar, G.; Koletar, J.; Ott, H.; Jukniewicz, E.; et al.
CORPORATE SOURCE: Med. Chem. Dep., Sandoz-Wander, Inc., East Hanover, NJ, USA
SOURCE: Journal of Medicinal Chemistry (1973), 16(11), 1237-45
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Addnl. data considered in abstracting and indexing are available from a source cited in the original document. A number of quinazolinones and quinazolinethiones compared favorably in antiinflammatory activity with indomethacin and phenylbutazone. The most potent compound in the series, 1-isopropyl-7-methyl-4-phenyl-2(1H)-quinazolinone (I) [22760-18-5], showed the following ED50 values: carrageenan-induced paw edema inhibition in normal and adrenalectomized rats, 5 and 6 mg/kg orally, resp.; bradykinin-induced bronchoconstriction reversal in guinea pigs, 0.008 mg/kg, i.v.; adjuvant arthritis inhibition in rats, 1 mg/kg orally. The quinazolinones were prepared from the appropriately substituted anthranilic acids or anilines via the corresponding o-aminobenzophenones.
IT 50817-59-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(detosylation of)
RN 50817-59-9 CA
CN Benzenesulfonamide, N-(2-benzoyl-3-methylphenyl)-4-methyl- (9CI) (CA INDEX NAME)

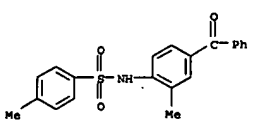


L8 ANSWER 9 OF 12 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 75:63269 CA
TITLE: Significance of biochemical interactions with respect to the toxic and carcinogenic effect of aromatic amines. III. Synthesis and analysis of some **metabolites** of trans-4-dimethylaminostilbene, cis-4-dimethylaminostilbene, and 4-dimethylaminobibenzyl
AUTHOR(S): Metzler, M.; Neumann, H.-G.
CORPORATE SOURCE: Max-Planck-Inst. Biochem., Munich, Fed. Rep. Ger.
SOURCE: Tetrahedron (1971), 27(11), 2225-46
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: German
AB A radio-gas chromatographic procedure was devised to enable comparison of the pharmacokinetics of tritium labeled, carcinogenic trans-4-dimethylaminostilbene and inactive cis-4-dimethylaminostilbene and 4-dimethylaminobibenzyl. This method makes it possible to analyze the pattern of **metabolites** in complex mixts. obtained by tissue extraction With a specific radioactivity of 1 mC/mg and an applied dose of 1 mg (per rat), 10-3 μg of a **metabolite** or 10-4% of the administered dose can be determined Since the use of reference substances is obligatory, 15 possible **metabolites** of the starting compds. were synthesized. For control expts., 5 of them were also labeled with tritium. 4-Dimethylamino-4'-hydroxystilbene and -bibenzyl and 4-dimethylamino-3-hydroxystilbene and -bibenzyl are among the unknown compds. The uv, NMR, mass and ir spectra of the synthesized compds. are discussed, and the data for radio-gas chromatog and thin-layer chromatog. of the reference substances are given.
IT 33365-40-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 33365-40-1 CA
CN p-Toluenesulfonanilide, 4'-styryl-, (E)- (8CI) (CA INDEX NAME)

Double bond geometry as shown.

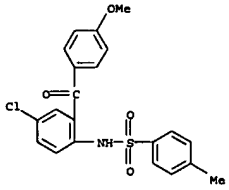


L8 ANSWER 10 OF 12 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 74:86060 CA
TITLE: Amides and amines with analgesic and antiinflammatory activity
AUTHOR(S): Artini, D.; Buttinoni, A.; Dradi, E.; Logemann, W.; Mandelli, V.; Melloni, P.; Tommasini, R.; Tosolini, G.; Vita, G.
CORPORATE SOURCE: Carlo Erba Inst. Ther. Res., Milan, Italy
SOURCE: Arzneimittel-Forschung (1971), 21(1), 30-6
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Of the 60 4-amido-benzophenones and 33 4-aminobenzophenones prepared and tested for antiinflammatory activity in the carrageenin test, for analgesic activity in the phenylbenzoquinone test, and for antibradykinin activity and toxicity in mice, 3-methyl-4-(ethoxyacetylamino) benzophenone (I) was the most active with the least toxicity. Its analgesic activity was 5 times that of phenylbutazone and its antiinflammatory and antibradykinin activities were equal to those of phenylbutazone. It had oral LD50 values of 1140 and 2280 mg/kg in mice and rats, resp. and oral subacute toxicity (7-day) in rats was 1040 mg/kg. 3-Methyl-4-aminobenzophenone was the only **metabolite** found in the urine of rats treated with I. 4-Aminobenzophenone (II) was the most active compound tested, the analgesic and antiinflammatory activities being >7.5- and 2-fold greater than those of phenylbutazone but its proclivity for producing methemoglobin precludes it for therapeutic use. 4-(Ethoxyacetylamino)benzophenone, 2-methyl-4-(ethoxyamino)benzophenone, and 2-methyl-4-aminobenzophenone also increased methemoglobin formation in mice 35-45-fold, whereas I and 3-methyl-4-aminobenzophenone had no effect on its formation. A Me group in the position ortho relative to the amino or amido group is important as regards both activity and side effects, because it prevents methemoglobin formation. The amines were synthesized from primary amines obtained from a Friedel-Crafts condensation in the presence of polyphosphoric acid or reaction of the nitro derivative with phenylacetonitrile followed by oxidation of the resulting oxime with a 30 H2O2 solution and then selective reduction The amides were obtained by reacting the primary amine with an acid chloride in the presence of a base. Secondary amines were synthesized from primary amines by reacting the Na salts of sulfonamides obtained from p-toluenesulfonyl chloride with suitable alkyl halides followed by saponification in concentrated H2SO4.
IT 31680-64-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 31680-64-5 CA
CN p-Toluenesulfono-o-toluidide, 4'-benzoyl- (8CI) (CA INDEX NAME)

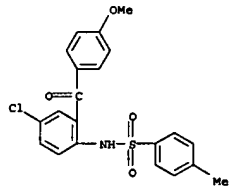


L8 ANSWER 10 OF 12 CA COPYRIGHT 2006 ACS on STN (Continued)

L8 ANSWER 11 OF 12 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 73:77127 CA
TITLE: Synthesis of heterocyclic compounds. CCCLXVI. Syntheses of azole derivatives. II. Syntheses of N-(1-or 2-substituted)indazolones via diazotization
AUTHOR(S): Kametani, Tetsuji; Sota, Kaoru; Shio, Masahisa
CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, Japan
SOURCE: Journal of Heterocyclic Chemistry (1970), 7(4), 815-20
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Syntheses of 2,5-disubstituted-indazolones and 3-hydroxy-1-substituted-1H-indazoles were achieved by diazotization of 2-benzoylanilines and N-benzoylhydrazines resp.
IT 2237-07-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 2237-07-2 CA
CN p-Toluenesulfonanilide, 2'-p-anisoyl-4'-chloro- (7CI, 8CI) (CA INDEX NAME)



L8 ANSWER 12 OF 12 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 62:5004 CA
ORIGINAL REFERENCE NO.: 62:946e-f
TITLE: Metabolism of diazepam in rabbits
AUTHOR(S): Jommi, G.; Manitto, P.; Silanos, M. A.
CORPORATE SOURCE: Fac. Sci., Milano
SOURCE: Archives of Biochemistry and Biophysics (1964), 108(2), 334-40
CODEN: ABBIA4; ISSN: 0003-9861
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Urine of rabbits treated with large doses of diazepam (I) was analyzed. After hydrolysis 3 compds. were isolated and identified: 2-methylamino-5-chlorobenzophenone (II), 2-amino-5-chlorobenzophenone, and 2-methylamino-5-chloro-4'-hydroxybenzophenone. Another substance was tentatively identified by thin-layer chromatography as 2-amino-5-chloro-4'-hydroxybenzophenone. These compds. were not present as such in urine, but were derived from conjugated precursors. Since diazepam itself was transformed into II after hydrolysis, it was impossible to determination whether the demethylation and hydroxylation occurred on diazepam or on one of its metabolites. The identified metabolites represented <10% of the injected diazepam.
IT 2237-07-2, p-Toluenesulfonanilide, 2'-p-anisoyl-4'-chloro-
(preparation of)
RN 2237-07-2 CA
CN p-Toluenesulfonanilide, 2'-p-anisoyl-4'-chloro- (7CI, 8CI) (CA INDEX NAME)



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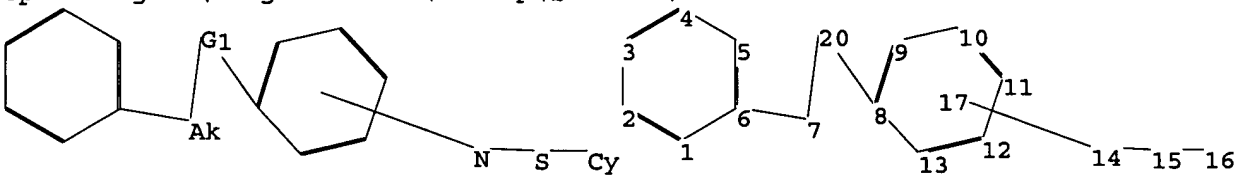

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ring nodes :
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ring bonds :
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exact/norm bonds :
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containing 8 :

G1:O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
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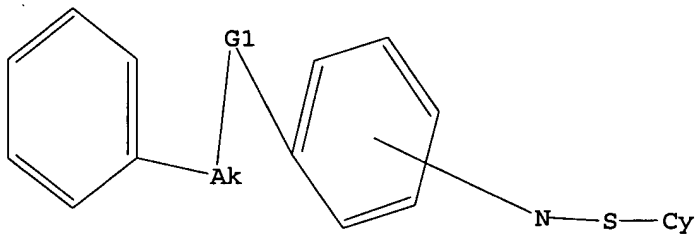

G1 O,S,N

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L14 ANSWER 1 OF 8 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:51430 CA
TITLE: Aminoguanidine and alkoxyguanidine protease inhibitors, method for their synthesis and pharmaceutical use
INVENTOR(S): Tomczuk, Bruce E.; Soll, Richard M.; Lu, Tianbao; Pedde, Cynthia L.; Illig, Carl R.; Markotan, Thomas P.; Stagnaro, Thomas P.
PATENT ASSIGNEE(S): 3-Dimensional Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 191 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9823565	A2	19980604	WO 1997-US21649	19971126
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RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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AU 725058	B2	20001005		
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L14 ANSWER 1 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)

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US 2004002539	A1	20040101	US 2003-419972	20030422
US 6730783	B2	20040504		
PRIORITY APPLN. INFO.:			US 1996-31822P	P 19961126
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			WO 1997-US21649	W 19971126
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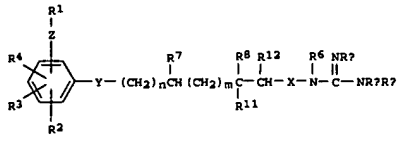
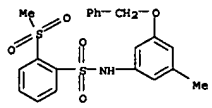
OTHER SOURCE(S): MARPAT 129:51430
GI



I

AB Aminoguanidine and alkoxyguanidine compds. (I; X=O, NR9; Y=O, NR10, S, CHR10, covalent bond; Z=NR10SO2, SO2NR10, NR10C(RyRz), C(RyRz)NR10, OSO2, SO2O, OC(RyRz), C(RyRz)O, NR10CO, CONR10; R1-R4, R6-R12=alkyl, etc.; Ra,Rb,Rc=H, OH, CN, CO2Rw, alkyl, alkoxy, aryloxy, aralkoxy, alkoxycarbonyloxy; Rw=alkyl, cycloalkyl, Ph, benzyl, etc.; Ry,Rz=H, alkyl, cycloalkyl, aryl, aralkyl, hydroxyalkyl, carboxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, carboxy; n=0-8; m=0-4) as well as hydrates, solvates or pharmaceutically acceptable salts thereof, that inhibit proteases are described. Also described are methods for preparing I involving reaction of an aminoguanidine with a carbonyl compound or reaction of an alkoxyamine compound with a guanidinylating agent. The novel compds. of the present invention are potent inhibitors of proteases, especially trypsin-like serine proteases, such as chymotrypsin, trypsin, thrombin, plasmin and factor Xa. Certain of the compds. exhibit antithrombotic activity via direct, selective inhibition of thrombin, or are intermediates useful for forming compds. having antithrombotic activity. The invention includes a composition for inhibiting loss of blood platelets, inhibiting formation of blood platelet aggregates, inhibiting formation of fibrin, inhibiting thrombus formation, and inhibiting embolus formation in a mammal, comprising a compound of the invention in a pharmaceutically

L14 ANSWER 1 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)
acceptable carrier. Other uses of compds. of the invention are as anticoagulants either embedded in or phys. linked to materials used in the manuf. of devices used in blood collection, blood circulation, and blood storage, such as catheters, blood dialysis machines, blood collection syringes and tubes, blood lines and stents. A large no. of I were prepd. and tested for inhibition of proteases. Seven compds. displayed Ki 2.6-11 nM for thrombin.
IT 208644-23-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(aminoguanidine and alkoxyguanidine protease inhibitors, method for their synthesis and pharmaceutical use)
RN 208644-23-9 CA
CN Benzenesulfonamide, N-[3-methyl-5-(phenylmethoxy)phenyl]-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

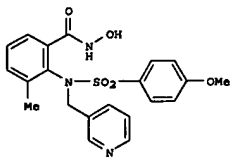


L14 ANSWER 2 OF 8 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 128:308308 CA
TITLE: The preparation and use of ortho-sulfonamido aryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors
INVENTOR(S): Levin, Jeremy Ian; Du Mila, T.; Venkatesan, Aranapakam
Mudumbai; Nelson, Frances Christy; Zask, Arie; Gu, Yansong
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9816503	A2	19980423	WO 1997-US18280	19971008
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2268894	AA	19980423	CA 1997-2268894	19971008
AU 9851458	A1	19980511	AU 1998-51458	19971008
AU 731737	B2	20010405		
EP 938471	A1	19990901	EP 1997-946246	19971008
EP 938471	B1	20011212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9712525	A	19991019	BR 1997-12525	19971008
CN 1240429	A	20000105	CN 1997-180613	19971008
JP 2001504809	T2	20010410	JP 1998-518448	19971008
AT 210637	E	20011215	AT 1997-946246	19971008
ES 2166102	T3	20020401	ES 1997-946246	19971008
PT 938471	T	20020531	PT 1997-946246	19971008
ZA 9709233	A	19990415	ZA 1997-9233	19971015
TW 410220	B	20001101	TW 1997-86114187	19971015
KR 2000049196	A	20000725	KR 1999-703294	19990415
HK 1021178	A1	20020404	HK 2000-100090	20000106
PRIORITY APPLN. INFO.:			US 1996-732631	A 19961016
			WO 1997-US18280	W 19971008

OTHER SOURCE(S): MARPAT 128:308308
GI

L14 ANSWER 2 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)



II

AB The invention relates to novel, low mol. weight, non-peptide inhibitors of
matrix metalloproteinases (e.g. gelatinases, stromelysins and collagenases) and TNF-α converting enzyme (TACE, tumor necrosis factor-α converting enzyme). The compds. are useful for the treatment of diseases in which these enzymes are implicated such as arthritis, tumor growth and metastasis, angiogenesis, tissue ulceration, abnormal wound healing, periodontal disease, bone disease, proteinuria, aneurysmal aortic disease, degenerative cartilage loss following traumatic
joint injury, demyelinating diseases of the nervous system, graft rejection, cachexia, anorexia, **inflammation**, fever, insulin resistance, septic shock, congestive heart failure, **inflammatory** disease of the central nervous system, **inflammatory** bowel disease, HIV infection, age related macular degeneration, diabetic retinopathy, proliferative vitreoretinopathy, retinopathy of prematurity, ocular **inflammation**, keratoconus, Sjogren's syndrome, myopia, ocular tumors, and ocular angiogenesis/neovascularization. The invention compds. are represented by the formula ZSO2N(CH2R7)ACONHOH [I; A = (un)substituted Ph or naphthyl; Z = (un)substituted aryl, heteroaryl, or benzo-fused heteroaryl; R7 = H, (un)substituted alk(en/yn)yl, Ph, naphthyl, 5- or 6-membered heteroaryl, cycloalkyl, or cycloheteroalkyl; or
R7CH2NA forms a non-aromatic 1,2-benzo-fused 7- to 10-membered heterocyclic
ring with an optional addition benzo fusion; where the hydroxamic acid moiety
and the sulfonamido moiety are bonded to adjacent carbons on group A], and
include pharmaceutically acceptable salts, optical isomers, and diastereomers. Prepns. of over 400 compds., including I and their intermediates, are given. For instance, 2-[(4-methoxybenzenesulfonyl)amino]-3-methylbenzoic acid Me ester (preparation given)
was N-alkylated by 3-picolyl chloride-HCl (83%), followed by hydrolysis of
the ester with LiOH in aqueous THF (100%), activation with oxalyl chloride,
and hydroxamidation with NH2OH.HCl (51%), to give title compound II. At 50

L14 ANSWER 2 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)

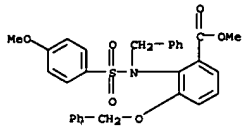
mg/kg/day in rats with cartilage implants, II gave 44.6% inhibition of cartilage wt. loss, and 51.2% inhibition of cartilage collagen loss.

IT **206547-15-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of ortho-sulfonamido aryl hydroxamic acids as
matrix metalloproteinase and TACE inhibitors)

RN 206547-15-1 CA

CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl](phenylmethyl)amino]-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



L14 ANSWER 3 OF 8 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 127:331293 CA

TITLE: Preparation of phenylalkanal semicarbazone derivatives
as protease inhibitors

INVENTOR(S): Soll, Richard M.; Lu, Tianbao; Fedde, Cynthia L.; Tomczuk, Bruce E.; Illig, Carl

PATENT ASSIGNEE(S): 3-Dimensional Pharmaceuticals, Inc., USA; Soll, Richard M.; Lu, Tianbao; Fedde, Cynthia L.; Tomczuk, Bruce E.; Illig, Carl

SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9736580 <--	A1	19971009	WO 1997-US5274	19970327
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2250426 <--	AA	19971009	CA 1997-2250426	19970327
AU 9724292 <--	A1	19971022	AU 1997-24292	19970327
AU 722161	B2	20000720		
EP 906091	A1	19990407	EP 1997-919990	19970327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NZ 332073	A	20000526	NZ 1997-332073	19970327
JP 2000507588	T2	20000620	JP 1997-535525	19970327
PRIORITY APPLN. INFO.:			US 1996-14317P P	19960329
			WO 1997-US5274 W	19970327

OTHER SOURCE(S): MARPAT 127:331293

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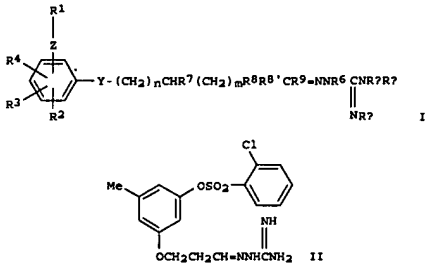
L14 ANSWER 3 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)



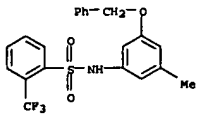
I

AB Amidinohydrazone and benzamidino compds., including compds. of formula [I;
R1 = alkyl, cycloalkyl, alkenyl, alkynyl, aryl, aralkyl, heteroaryl; Z = (un)substituted NHSO2, SO2NH, NHCH2, CH2NH, OSO2, SO2O, OCH2, CH2O, NHCO, or CONH; R2, R3, R4 = H, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, aralkyl, heteroaryl, CF3, halo, hydroxyalkyl, cyano, NO2, or CONH2, O-(un)substituted CO2H, OH, or CH2OH; or R2R3 = CH:CHCH:CH, (CH2)q; q = 2-6; Y = O, (un)substituted NH or CH2, S, covalent bond; Ra, Rb, Rc = H, alkyl, OH, alkoxy, aryloxy, aralkyloxy, alkoxycarbonyl, cyano, esterified CO2H; R7, R8 = H, alkyl, aralkyl, aryl, hydroxyalkyl, or carboxyalkyl and R8' = H; or R7R8 = (CH2)y; y = 0, 1,2; or R7 = H and R8R8' = (CH2)t; t = 2-5; R9 = H, (un)substituted alkyl, cycloalkyl, or aryl; n = 0-8; m = 0-4]
as well as hydrates, solvates or pharmaceutically acceptable salts thereof, that inhibit proteolytic enzymes such as thrombin are prepared A
pharmaceutical composition for inhibiting a trypsin-like protease or proteolysis in a mammal containing above compound I is claimed. Also claimed
are (1) a method of treating pancreatitis, thrombosis, ischemia, stroke, restenosis, emphysema, or **inflammation** in mammal and (2) a method for inhibiting thrombin-induced platelet aggregation and clotting of fibrinogen in plasma by administering to the mammal above compound I. Thus, a solution of 3-[3-(2-chlorophenylsulfonyloxy)-5-methylphenoxy]propionaldehyde (preparation given), aminoguanidine nitrate, and
aqueous 4N HCl/dioxane in ethanol was stirred at ambient temperature overnight to
give, after work-up and salt formation with HCl, the title compound (II). II in vitro inhibited thrombin with Ki of 0.0013 μM and showed no inhibition of chymotrypsin, trypsin, elastase, urokinase, plasmin, and Factor Xa at 1.6 μM.

IT **197959-66-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenylalkanal semicarbazone derivs. as protease inhibitors

L14 ANSWER 3 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)
for disease treatment)
RN 197959-66-3 CA
CN Benzenesulfonamide, N-[3-methyl-5-(phenylmethoxy)phenyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L14 ANSWER 4 OF 8 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 127:262527 CA
TITLE: Leukotriene antagonistic benzoic acid derivatives
INVENTOR(S): Abram, Trevor Smyth; Cuthbert, Nigel James; Francis, Hilary Patricia; Gardiner, Phillip John; Norman, Peter; Tudhope, Stephen Richard
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Can. Pat. Appl., 76 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2190801	AA	19970524	CA 1996-2190801	19961120
EP 791576	A2	19970827	EP 1996-118040	19961111
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5872280	A	19990216	US 1996-748331	19961113
JP 09169712	A2	19970630	JP 1996-325841	19961122
PRIORITY APPLN. INFO.:			GB 1995-23946 A	19951123

OTHER SOURCE(S): MARPAT 127:262527
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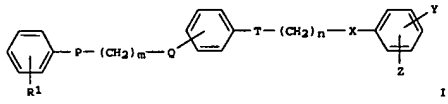

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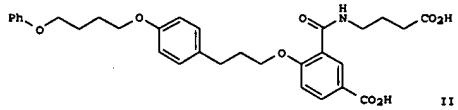
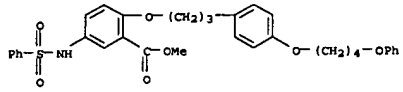


II

AB The invention relates to benzoic acid derivs. I [R1 = H, alkyl, substituted Ph; P, Q = O, S, bond; X = O, S, CONH; T = CH2CH2, O, S, bond; Y = CO2H, NHSO2R3, CONHSO2R3; R2 = H, halo, CF3, CF3O, NO2, cyano, alkyl, or alkoxy; Z = CO2H, COR4, CO(CH2)pCO2H, O(CH2)pCO2H, S(CH2)pCO2H, NO2, CONHWCO2H, NHWCO2H; R3 = CF3, alkyl, (un)substituted Ph; R4 = WCO2H,

L14 ANSWER 4 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)
alkyl; p = 0-5; W = phenylene or alkylene optionally substituted by alkyl or cycloalkyl, CO(CH2)q, (CH2)q; q = 0-5; m = 0-6; n = 0-4] and their salts. The compds. are leukotriene antagonists, and therefore are suitable as active ingredients in medicaments, particularly for the treatment of respiratory diseases such as asthma. For instance, amidation of H2N(CH2)3CO2Me with Me 4-hydroxyisophthalate, followed by etherification of the phenolic OH with 4-[PhO(CH2)4O]C6H4(CH2)3I using K2CO3 in DMF, and sapon. using LiOH in aq. THF, gave a preferred title compd., II. In assays for inhibition of LTD4- and LTC4-induced contraction of guinea-pig trachea, II had pKB values of 6.9 and 7.2, resp.
IT 196103-87-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzoic acid derivs. as leukotriene antagonists)
RN 196103-87-4 CA
CN Benzoic acid, 2-[3-[4-(4-phenoxybutoxy)phenyl]propoxy]-5-[(phenylsulfonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

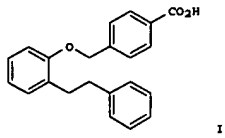


L14 ANSWER 5 OF 8 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 125:86305 CA
TITLE: Ortho-substituted aromatic ether compounds and their use in pharmaceutical compositions for pain relief
INVENTOR(S): Breault, Gloria Anne; Oldfield, John; Tucker, Howard; Warner, Peter
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9606822	A1	19960307	WO 1995-GB2030	19950829
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9533519	A1	19960322	AU 1995-33519	19950829
EP 778821	A1	19970618	EP 1995-929969	19950829
EP 778821	B1	19991020		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10504836	T2	19980512	JP 1995-508556	19950829
AT 185791	E	19991115	AT 1995-929969	19950829
US 5965741	A	19991012	US 1997-793023	19970221
PRIORITY APPLN. INFO.:			GB 1994-17532 A	19940831
			WO 1995-GB2030 W	19950829

OTHER SOURCE(S): MARPAT 125:86305
GI

L14 ANSWER 5 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)



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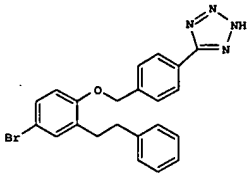


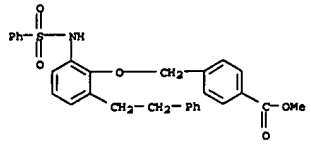
II

AB The invention relates to compds. of formula D-X-A-O-CH(R3)-B-R' [I; A = (un)substituted ring system; B = (un)substituted 5- or 6-membered heteroaryl or Ph; D = (un)substituted ring system; X = (CHR4)n or (CHR4)pCR4:CR4(CHR4)q wherein n = 1-3 and p and q both = 0, or one of p and q = 1 and the other = 0; R1 = variety of substituents, positioned on ring B in either a 1,3 or 1,4 relationship with the OCH(R3) group for 6-membered rings, or in a 1,3 relationship for 5-membered rings; R3, R4 = H or C1-4 alkyl] as well as their N-oxides, S-oxides, pharmaceutically acceptable salts, and in vivo-hydrolyzable esters and amides. The invention also relates to processes for preparation of I, intermediates in their preparation, use of I as therapeutic agents, and pharmaceutical compns. containing them. For example, the representative compds. II and III were prepared Benzenoid compound II was prepared via hydrolysis of its Me ester (88%), while tetrazole derivative III was prepared via cycloaddn. of HN3 with the corresponding nitrile (78%). I are analgesics which may also (no data) possess antiinflammatory, antipyretic, and antidiarrheal properties. In general, I had pA2 > 5.3 for inhibiting PGE2-induced contractions of isolated guinea pig ileum, and had oral ED50 of 0.01-100 mg/kg in the phenylbenzoquinone/AcOH induced writhing test in mice. No overt toxicity was seen in the writhing test at several multiples of the min. ED.

IT **178546-59-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L14 ANSWER 5 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)
(intermediate; prepn. of ortho-substituted arom. ethers as analgesics)

RN 178546-59-3 CA
CN Benzoic acid, 4-[[2-(2-phenylethyl)-6-[(phenylsulfonyl)amino]phenoxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)



L14 ANSWER 6 OF 8 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 125:33683 CA
TITLE: Aromatic amino ethers as pain relieving agents
INVENTOR(S): Breault, Gloria Anne; Oldfield, John; Tucker, Howard; Warner, Peter
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9603380	A1	19960208	WO 1995-GB1728	19950721
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W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT, UA				
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CA 2192088	AA	19960208	CA 1995-2192088	19950721
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AU 9529883	A1	19960222	AU 1995-29883	19950721
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CN 1154106	A	19970709	CN 1995-194340	19950721
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BR 9508335	A	19970930	BR 1995-8335	19950721
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HU 76606	A2	19971028	HU 1996-3338	19950721
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JP 10503487	T2	19980331	JP 1995-505573	19950721
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AT 196898	E	20001015	AT 1995-925943	19950721
ES 2150577	T3	20001201	ES 1995-925943	19950721
PT 773930	T	20010131	PT 1995-925943	19950721
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US 5843942	A	19981201	US 1997-776275	19970124
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CN 1286254	A	20010307	CN 2000-104017	20000310
GR 3034603	T3	20010131	GR 2000-402119	20001012
PRIORITY APPLN. INFO.:			GB 1994-14924 A	19940725
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OTHER SOURCE(S): MARPAT 125:33683
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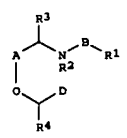

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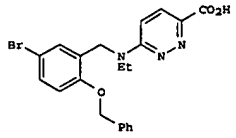


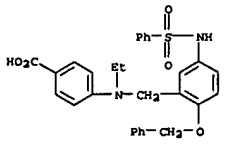
II

AB The invention relates to compds. I [A = (un)substituted Ph, naphthyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidyl, thienyl, thiazolyl, oxazolyl, thiadiazolyl having ≥ 2 adjacent ring C atoms, or bicyclic ring system, provided that the shown sidechains on A are in a 1,2-relationship, and the 3-position is unsubstituted; B, D = (un)substituted ring system; R1 = various groups; R2 = H, alk(en/yn)yl, phenylalkyl, 5- or 6-membered heteroarylalkyl; R3, R4 = H or alkyl] and their N-oxides, S-oxides, pharmaceutically acceptable salts, and in vivo-hydrolyzable esters and amides. Also claimed are processes for their preparation, intermediates, use as therapeutic agents, and pharmaceutical compns. I are analgesics which are structurally different from NSAIDS and opiates, and which may also possess antiinflammatory, antipyretic, and antidiarrheal properties. For example, condensation of 6-chloropyridazine-3-carboxamide with N-ethyl-N-(2-benzyloxy-5-bromobenzyl)amine-HCl in N-methylpyrrolidinone containing NaHCO3 at 115° (85%), and hydrolysis of the carboxamide function with NaOH in iso-PrOH (97%), gave title compound II. I generally had pA2 > 5.3 for inhibition of PGE2-induced contraction of guinea pig ileum in vitro, and ED50 of 0.01-100 mg/kg orally in the i.p.-induced writhing test.

IT **177756-78-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aromatic amino ethers as analgesics)

RN 177756-78-4 CA
CN Benzoic acid, 4-[ethyl[[2-(phenylmethoxy)-5-[(phenylsulfonyl)amino]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

L14 ANSWER 6 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)



L14 ANSWER 7 OF 8 CA COPYRIGHT 2006 ACS on STN

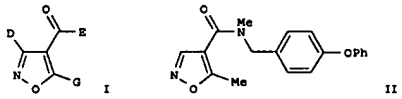
ACCESSION NUMBER: 123:285992 CA
TITLE: Preparation of isoxazole-4-carboxylates, 2-cyano-3-hydroxyacrylates, and analogs as immunosuppressants
INVENTOR(S): Coghlan, Michael J.; Luly, Jay R.; Wiedeman, Paul E.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9424095	A1	19941027	WO 1994-US4045	19940414

<--
W: CA, JP, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

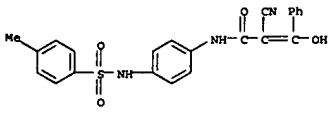
PRIORITY APPLN. INFO.: US 1993-48499 A 19930416
US 1993-56500 A 19930503

OTHER SOURCE(S): MARPAT 123:285992
GI



AB HOCG:C(CN)COE, GCOC(CN)COE, and isoxazoles I (D = H, alkyl, CHO, CO2H, alkoxycarbonyl, etc.; E = H, NH2, OH, Me, etc.; G = H, alkyl, Ph, etc.) were prepared Thus, prepared isoxazolecarboxamide II gave 94 and 99% inhibition of human mixed lymphocyte reaction and allogenic mixed leukocyte response, resp., at 10μM.
IT 167428-60-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoxazole-4-carboxylates, 2-cyano-3-hydroxyacrylates, and analogs as immunosuppressants)
RN 167428-60-6 CA
CN 2-Propenamide, 2-cyano-3-hydroxy-N-[4-[[(4-methylphenyl)sulfonyl]amino]phenyl]-3-phenyl- (9CI) (CA INDEX NAME)

L14 ANSWER 7 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)



L14 ANSWER 8 OF 8 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 121:73886 CA
TITLE: diaminobenzene derivatives as phospholipase A2 inhibitors, inflammation inhibitors, and antipancreatitis agents
INVENTOR(S): Shigehara, Itaru; Odawara, Shinji; Yuki, Shunji; Kimura, Hirohiko; Kume, Takashi; Nakayama, Hitoshi
PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06122669	A2	19940506	JP 1992-361996	19921225

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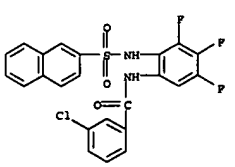
PRIORITY APPLN. INFO.: JP 1991-361510 A 19911228

OTHER SOURCE(S): MARPAT 121:73886
AB Diaminobenzene derivs. such as N-(2-methylsulfonylamino-5-trifluoromethylphenyl)cyclohexanecarboxamide (I) are prepared for use as phospholipase A2 inhibitors, inflammation inhibitors, and antipancreatitis agents. Thus, I was prepared by reacting methanesulfonamide with 4-chloro-3-nitro-α,α,α-trifluorotoluene to form N-(2-nitro-4-trifluoromethylphenyl)methanesulfonamide (II), reduction of II, and then reacting the reduction product with cyclohexanecarbonyl chloride. I inhibited phospholipase A2 activity in vitro. Inhibition of pancreatitis in rats with these diaminobenzene derivs. also was demonstrated. Tablets were prepared containing I 200, starch 30, lactose 150, and Mg stearate 6 mg.
IT 156522-04-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as phospholipase A2 inhibitor and inflammation inhibitor and antipancreatitis agent)
RN 156522-04-2 CA
CN Benzamide, 3-chloro-N-[3,4,5-trifluoro-2-[(2-naphthalenylsulfonyl)amino]phenyl]- (9CI) (CA INDEX NAME)



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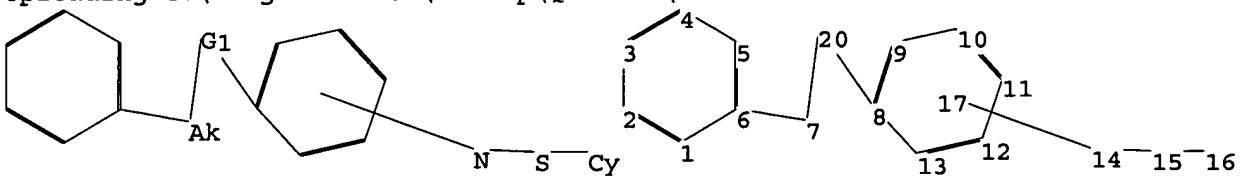

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ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13
chain bonds :
6-7 7-20 8-20 14-15 15-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-13 8-9 9-10 10-11 11-12 12-13
exact/norm bonds :
6-7 7-20 8-20 14-15 15-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-13 8-9 9-10 10-11 11-12 12-13
isolated ring systems :
containing 8 :

G1:O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:Atom 17:CLASS 20:CLASS

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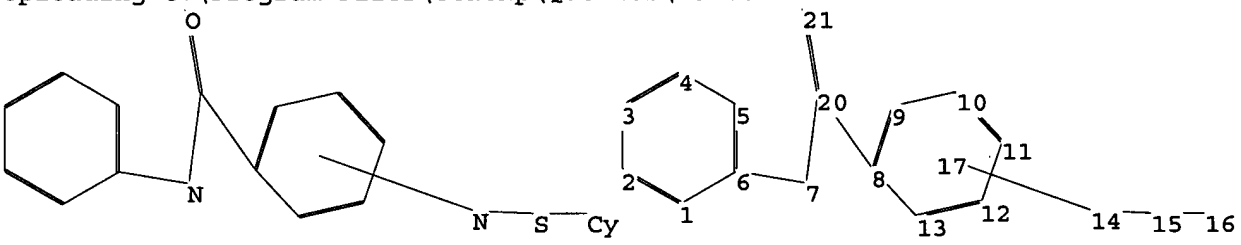

chain nodes :
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ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13

chain bonds :
6-7 7-20 8-20 14-15 15-16 20-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-13 8-9 9-10 10-11 11-12 12-13
exact/norm bonds :
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exact bonds :
8-20
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-13 8-9 9-10 10-11 11-12 12-13
isolated ring systems :
containing 8 :

G1:O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:Atom 17:CLASS 20:CLASS
21:CLASS

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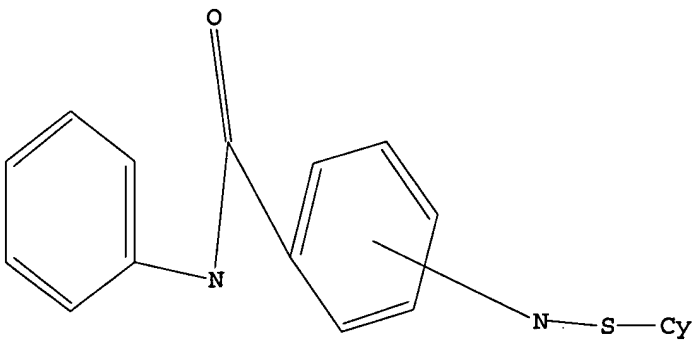

G1 O,S,N

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=> file ca
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L19           2 L18 AND L6

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L19 ANSWER 1 OF 2 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 126:277494 CA
TITLE: Preparation of piperazinylbenzamides, piperidylbenzamides, and analogs thereof as **inflammation** and allergy inhibitors
INVENTOR(S): Kawagoe, Keiichi; Shidonii, Kurifuoodo Baafuoodo; Yokohama, Shuichi; Miwa, Tamotsu; Nakajima, Hiroto; Tsukada, Wataru
PATENT ASSIGNEE(S): Daiichi Seiyaku Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 67 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09059236	A2	19970304	JP 1995-214431	19950823

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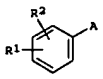
PRIORITY APPLN. INFO.: JP 1995-214431 19950823

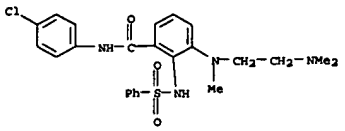
OTHER SOURCE(S): MARPAT 126:277494
GI



I

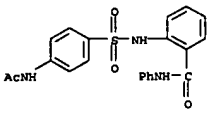
AB The title compds. I [R1 = halo, etc.; R2 = halo, nitro, etc.; A = C(:Z)NR3R4, etc.; Z = O, etc.; R3 = (un)substituted aromatic hydrocarbon, etc.; R4 = H, etc.] are prepared N-(4-Chlorophenyl)-3-(4-methyl-1-piperazinyl)-2-nitrobenzamide at 50 mg/kg orally gave 79% inhibition of adjuvant arthritis in rats.
IT **188603-76-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylbenzamides, piperidylbenzamides, and analogs thereof as **inflammation** and allergy inhibitors)
RN 188603-76-1 CA
CN Benzamide, N-(4-chlorophenyl)-3-[[2-(dimethylamino)ethyl]methylamino]-2-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

L19 ANSWER 1 OF 2 CA COPYRIGHT 2006 ACS on STN (Continued)



L19 ANSWER 2 OF 2 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 50:89281 CA
ORIGINAL REFERENCE NO.: 50:16815a-g
TITLE: Sulfonamides. I
AUTHOR(S): Shridhar, D. R.; Narang, K. S.
CORPORATE SOURCE: Panjab Univ., Hoshiarpur
SOURCE: J. Indian Chem. Soc. (1956), 33, 305-12
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB A number of sulfonamides were synthesized as possible antimetabolites against p-H2NC6H4CO2H and 4-amino-5-carboxamidoimidazole. The following amides were prepared by heating a mixture of isatoic anhydride (I) or 5-methylisatoic anhydride (II) and the amine 1-2 hrs. on the H2O-bath and crystallizing from the appropriate solvent (amide, anhydride, amine, solvent of crystallization, and m.p. given resp.): 2-amino-N-hydroxyethylbenzamide, I, HO-(CH2)2NH2, C6H6, 95°; 2-aminobenz-N-o-aniside, I, o-MeOC6H4NH2 (o-III), 66% EtOH, 110°; 2-(2-aminobenzamido)-4-p-chlorophenylthiazole, I, 2-amino-4-p-chlorophenylthiazole (IV), (heated at 160-70°), EtOH, 182°; 2-(2-aminobenzamido)-4-methyl-5-carbethoxythiazole, I, 2-amino-4-methyl-5-carbethoxythiazole (heated at 155-65°), glacial AcOH, 138°; 2-(2-aminobenzamido)-4-phenyl-thiazole, I, 2-amino-4-phenylthiazole (V) (heated at 140-60°), EtOH, 150°; 2-amino-5-methylbenzanilide, II, PhNH2, EtOH, 162°; 2-amino-5-methylbenz-o-toluidide, II, o-MeC6H4NH2 (o-VI), aqueous EtOH, 170°; 2-amino-5-methylbenz-m-toluidide, II, m-VI, EtOH, 148°; 2-amino-5-methylbenz-p-toluidide, II, p-VI, EtOH, 183°; 2-amino-5-methylbenz-o-anisidide, II, o-III, aqueous EtOH, 98°; 2-amino-5-methylbenz-p-anisidide, II, p-III, EtOH, 174°; 2-(2-amino-5-methylbenzamido)-4-p-chlorophenylthiazole, II, IV (heated at 160-70°), glacial AcOH, 180°; 2-(2-amino-5-methylbenzamido)-4-phenylthiazole, II, V, EtOH, 175°. Sulfonamides [4,2-R(R'NHCO)C6H3NHSO2C6H4-NHCOCH2Cl-p] were prepared by treating o-aminobenzamide or substituted benzamide in Et2O and C5H5N or C5H5N alone with p-ClO2SC6H4NHCOCH2Cl (VII), the mixture allowed to stand with or without heating, the Et2O evaporated, the residue triturated with H2O, filtered, and the product crystallized (R, R', solvent of crystallization, and m.p. given): H, H, 50% EtOH, 255°; H, Ph, 70% AcOH, 188°; H, o-MeC6H4 (o-VIII), glacial AcOH, 195°; H, m-VIII, glacial AcOH, 165°; H, p-VIII, glacial AcOH, 215°; H, p-MeOC6H4 (p-IX), glacial AcOH, 200; H, p-ClC6H4, 50% AcOH, 222°; H, S.CH:CPh.N:C, glacial AcOH, 162°; Me, m-VIII, glacial AcOH, 228°; Me, p-VIII, glacial AcOH, 172°; Me, p-IX, 70% AcOH, 222°; Me, S.C(CO2Et):CMe.N:C, I glacial AcOH, 195°. 2-(p-N-Chloroacetylsulfanilamido)-benzamide (X), white needles from 60% EtOH, m. 193°, prepared by condensing o-aminobenzamide in Et2O and C5H5N with VII, was treated with Et2NH in Me2CO to give 2-(p-N,N-dimethylaminoacetylsulfanilamido)benzamide, white needles from EtOH, m. 200°. X treated with piperidine gave 2-(p-piperidinoacetylsulfanilamido)benzamide, white needles from 50% EtOH, m. 184°. X treated with morpholine gave 2-(p-morpholinoacetylsulfanilamido)benzamide, pale yellow needles from dilute EtOH, m. 188°.
IT **304667-82-1**, Benzanilide, 2-(N4-acetylsulfanilamido)-

L19 ANSWER 2 OF 2 CA COPYRIGHT 2006 ACS on STN (Continued)
(prepn. of)
RN 304667-82-1 CA
CN Benzamide, 2-[[[4-(acetylamino)phenyl]sulfonyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)



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L8          12 S L6 AND L5

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     FILE 'CA' ENTERED AT 13:03:27 ON 05 APR 2006
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L19          2 S L18 AND L6

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